

ABSTRACT

In the Section of the Application that forms the Abstract, the final text is as follows:

ABSTRACT

This invention relates to methods for preparing cyclic peptides and peptidomimetic compounds in solution and bound to solid supports, and to cyclic peptide or peptidomimetic libraries for use in drug screening programmes. In particular, the invention relates to a generic strategy for synthesis of cyclic peptides or peptidomimetics that enables the efficient synthesis under mild conditions of a wide variety of desired compounds. Two approaches were evaluated for their improvements in solution and solid phase synthesis of small cyclic peptides: positioning reversible *N*-amide substituents in the sequence; and applying native ligation chemistry in an intramolecular sense. Systematic investigation of the effects of preorganising peptides prior to cyclisation by using peptide cyclisation auxiliaries, and developing new linkers and peptide cyclisation auxiliaries to aid cyclic peptide synthesis gives surprising improvements in both yields and purity of products compared to the prior art methods. The combination of these technologies provides a powerful generic approach for the solution and solid phase synthesis of small cyclic peptides. The ring contraction and *N*-amide substitution technology of the invention provide improved methods for the synthesis of cyclic peptides and peptidomimetics. When used in conjunction with linker strategies, this combination provides solid-phase avenues to cyclic peptides and peptidomimetics.

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